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WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07K 5/06, 5/08, A61K 38/05, 38/06, 38/07, 31/47, C07D 217/22, 401/12, 401/14, 405/12, 409/14 // (C07D 401/12, 217:00, 207:00) (C07D 401/12, 217/00, 211:00)

A1

(11) International Publication Number: WO 99/11657

(43) International Publication Date: 11 March 1999 (11.03.99)

(21) International Application Number: PCT/GB98/02600

(22) International Filing Date: 28 August 1998 (28.08.98)

(30) Priority Data:

9718392.5	29 August 1997 (29.08.97)	GB
9803173.5	13 February 1998 (13.02.98)	GB

(71) Applicant *(for all designated States except US)*: PROTEUS MOLECULAR DESIGN LTD. [GB/GB]; Beechfield House, Lyme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB).

(72) Inventors; and

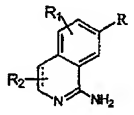
(75) Inventors/Applicants *(for US only)*: LIEBESCHUETZ, John, Walter [GB/GB]; Beechfield House, Lyme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). WYLIE, William, Alexander [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). WASZKOWYCZ, Bohdan [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). MURRAY, Christopher, William [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). RIMMER, Andrew, David [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). WELSH, Pauline, Mary [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). JONES, Stuart, Donald [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). ROSCOE, Jonathan, Michael, Ernest [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). YOUNG, Stephen, Clinton [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). MORGAN, Phillip, John [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). CAMP, Nicholas, Paul [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB). CREW, Andrew, Philip, Austin [GB/GB]; Beechfield House, Lymme Green Business Park, Macclesfield, Cheshire SK11 0JL (GB).

(74) Agents: COCKBAIN, Julian et al.; Frank B. Dehn & Co., 179 Queen Victoria Street, London EC4V 4EL (GB).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: 1-AMINO-7-ISOQUINOLINE DERIVATIVES AS SERINE PROTEASE INHIBITORS



(I)

(57) Abstract

The invention relates to serine protease inhibitor compounds of formula (I) where R_1 is hydrogen, halo, cyano, nitro or hydroxyl, amino, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, thiol, alkylthio, aminosulphonyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulphonyl, alkylsulphenyl, alkylsulphonamido, alkylaminosulphonyl, haloalkoxy and haloalkyl; R_2 is hydrogen, halo, methyl, amino, hydroxy, or oxo; and R is X–X–Y(R_7)–L–Lp(D)n; wherein each X independently is a C, N, O or S atom or a CO, CR_1, $C(R_1)_2$ or NR_1 group, at least one X being C, CO, CR_1 or a $C(R_1)_2$ group; Y (the α–atom) is a nitrogen atom or a CR_1 group or Y and L taken together form a cyclic group; R_7 is a lipophilic group selected from alkyl, alkenyl, mono– or bi–cycloalkyl, aryl, heteroaryl, mono– or bicycloalkylalkyl, mono– or bicycloalkylalkenyl, aralkyl, heteroaryl–alkyl, arylalkenyl, heteroarylalkenyl all optionally substituted by a group R_1; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Lp is a lipophilic organic group selected from alkyl, heterocyclic, alkenyl, alkaryl, cycloalkyl, polycycloalkyl, cycloalkenyl, aryl, aralkyl or haloalkyl group or a combination of two or more such groups optionally substituted by one or more of oxa, thia, aza or R_1 groups; D is a hydrogen bond donor group; and n is 0, 1 or 2 and salts thereof.